Adenosine A3 antagonists TITLE: INVENTOR(S): Sugiura, Yoshihiro; Miwatari, Seiji; Kimura, Hiroyuki; Knzaki, Naoyuki PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan Jpn. Kokai Tokkyo Koho, 30 pp. SOURCE: CODEN: JKXXAF DOCUMENT TYPE: Patent LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION: KIND DATE APPLICATION NO. DATE PATENT NO. \_\_\_\_\_ \_\_\_\_\_ JP 11158073 A 19990615 JP 1998-270755 19980925 <--JP 1997-262525 A 19970926 <--PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 131:78466 Entered STN: 23 Jun 1999 AΒ Adenosine A3 receptor antagonists contain (un)substituted amino-substituted N2-3-containing heterocyclic [5-8 ring-containing] compds. such as 2-chloro-4ethylamino-6-phenylamino-1,3,5-triazine and 2,4-bis[phenylamino]-6cyclohexylamino-1,3,5-triazine. Of 6 compds. tested, the IC50 values of adenosine A3 receptor antagonist activities ranged from 0.7 to 285.9 nM as determined in human adenosine A3 receptor-expressing plasmid-transformed CHO (dhfr-) cell cultures. Tablets were formulated containing 2,4bis[phenylamino]-6-cyclohexylamino- 1,3,5-triazine 50, lactose 34, corn starch 10.6, corn starch paste 5, magnesium stearate 0.4 and calcium CM-cellulose 20 mg. The drugs are useful for treating e.g. brain ischemic disease. ICM A61K031-535 IC ICS A61K031-00; A61K031-505; A61K031-53; C07D251-18; C07D251-50; C07D251-70; C07D403-04 CC 63-6 (Pharmaceuticals) Section cross-reference(s): 1  $1973 - 09 - 7 \qquad 6737 - 62 - 8 \qquad 17654 - 47 - 6 \qquad 21665 - 49 - 6 \qquad 50831 - 60 - 2 \qquad 53773 - 08 - 3$ ΙT  $53773 - 09 - 4 \qquad 53773 - 10 - 7 \qquad 54589 - 65 - 0 \qquad 61038 - 64 - 0 \qquad 101119 - 13 - 5$  $107274 - 03 - 3 \qquad 113696 - 90 - 5 \qquad 156126 - 89 - 5 \qquad 189249 - 05 - 6 \qquad 228574 - 85 - 4$  $228574 - 86 - 5 \qquad 228574 - 87 - 6 \qquad 228574 - 88 - 7 \qquad 228574 - 89 - 8 \qquad 228574 - 90 - 1$ 228574-91-2 228574-92-3 228574-93-4 228574-94-5 228574-95-6 228574-96-7 228574-97-8 228574-98-9 228574-99-0 228575-00-6 228575-01-7 228575-02-8 228575-03-9 228575-04-0 228575-05-1 228575-06-2 228575-07-3 228575-08-4 228575-09-5 228575-10-8 228575-11-9 228575-12-0 228575-13-1 
 228575-14-2
 228575-15-3
 228575-16-4

 228575-17-5
 228575-18-6
 228575-19-7

 228575-20-0
 228575-21-1
 228575-22-2
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (adenosine A3 receptor antagonists and pharmaceutical compns.) ΤТ 228575-10-8 228575-13-1 228575-14-2 228575-15-3 228575-16-4 228575-17-5 228575-18-6 228575-19-7 228575-20-0 228575-21-1 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (adenosine A3 receptor antagonists and pharmaceutical compns.)

RN

CN

228575-10-8 HCAPLUS

4-Pyrimidinamine, 6-chloro-N, 2-diphenyl- (CA INDEX NAME)

RN 228575-13-1 HCAPLUS

CN 4-Pyrimidinamine, N-cyclohexyl-6-hydrazinyl-2-phenyl- (CA INDEX NAME)

RN 228575-14-2 HCAPLUS

CN 4,6-Pyrimidinediamine, N4-cyclohexyl-N6,2-diphenyl- (CA INDEX NAME)

RN 228575-15-3 HCAPLUS

CN 4,6-Pyrimidinediamine, N4,N6,2-triphenyl- (CA INDEX NAME)

RN 228575-16-4 HCAPLUS

CN 4,6-Pyrimidinediamine, N4,2-diphenyl-N6-(phenylmethyl)- (CA INDEX NAME)

RN 228575-17-5 HCAPLUS

CN 4-Pyrimidinamine, 6-(3,5-dimethyl-1H-pyrazol-1-yl)-N,2-diphenyl- (CA INDEX NAME)

RN 228575-18-6 HCAPLUS

CN 4-Pyrimidinamine, 6-(3,5-dimethyl-1H-pyrazol-1-yl)-N-(4-methoxyphenyl)-2-phenyl- (CA INDEX NAME)

RN 228575-19-7 HCAPLUS

CN Pyrimidine, 4-hydrazinyl-6-phenoxy-2-phenyl-, hydrochloride (1:2) (CA INDEX NAME)

●2 HC1

RN 228575-20-0 HCAPLUS

CN 4-Pyrimidinamine, 6-phenoxy-N, 2-diphenyl- (CA INDEX NAME)

RN 228575-21-1 HCAPLUS
CN 4-Pyrimidinamine, N-cyclohexyl-6-phenoxy-2-phenyl-, hydrochloride (1:1) (CA INDEX NAME)